

## (12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
31 July 2003 (31.07.2003)

PCT

(10) International Publication Number  
**WO 2003/061552 A3**

(51) International Patent Classification<sup>7</sup>: **C07D 263/24**

(21) International Application Number:  
PCT/IN2003/000009

(22) International Filing Date: 13 January 2003 (13.01.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
27/MUM/2002 14 January 2002 (14.01.2002) IN  
27/MUM/2002 13 January 2003 (13.01.2003) IN

(71) Applicant (for all designated States except US): **SUN PHARMACEUTICAL INDUSTRIES LIMITED** [IN/IN]; ACME PLAZA, ANDHERI KURLA ROAD, ANDHERI (EAST), 400059 MUMBAI (IN).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **GANDHI, Biren, Jaiprakash** [IN/IN]; SUN PHARMA ADVANCED RESEARCH CENTRE, AKOTA ROAD, AKOTA, 390020 BARODA (IN). **SHAH, Samir, Rameshchandra** [IN/IN]; SUN PHARMA ADVANCED RESEARCH CENTRE, AKOTA ROAD, AKOTA, 390020 BARODA (IN). **CHITTURI, Trinadha, Rao** [IN/IN]; SUN PHARMA ADVANCED RESEARCH CENTRE, AKOTA ROAD, AKOTA, 390020 BARODA (IN). **THENNATI, Rajamanar** [IN/IN]; SUN PHARMA ADVANCED RESEARCH CENTRE, AKOTA ROAD, AKOTA, 390020 BARODA (IN).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),

Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

## Declarations under Rule 4.17:

- as to the identity of the inventor (Rule 4.17(i)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)
- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for all designations
- of inventorship (Rule 4.17(iv)) for US only

## Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

[Continued on next page]

(54) Title: NOVEL PROCESS FOR THE PREPARATION OF SUBSTANTIALLY PURE 5-(3,5-DIMETHYLPHENOXY)METHYL-2-OXAZOLIDINONE

(57) Abstract: Substantially pure 5-(3,5-dimethylphenoxy)methyl-2-oxazolidinone, a compound of formula (1), is prepared by a novel route, which comprises reacting 3-(3,5-dimethylphenoxy)-2-hydroxypropylamine, a compound of formula (2), or its acid addition salt with a compound of formula (3) (YCOZ) wherein Y and Z are selected from X, CCl<sub>3</sub>CO, 1-imidazolyl or substituted imidazolyl, and OR; wherein X is a halide, preferably chloride, and R is selected from substituted or unsubstituted linear, branched or cyclic alkyl and aryl or heteroaryl radicals. The compound of formula (2) is prepared by treating 2-[(3,5-Dimethylphenoxy)methyl]oxirane with ammonia to yield compound of formula (2), and optionally purifying compound of formula (2) by converting to its acid addition salt.

WO 2003/061552 A3